Attachment: No

Case/Application number: 10596086 PALM <http://expoweb1:8001/cgi-bin/expo/GenInfo/snquery.pl?APPL_ID=10596086>
Priority App. Filing Date:
Format for Search Results: SCORE

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:
Search compounds of claim 31 where benzene ring substituent may be in any position, any lower alkyl may also be hydrogen and any hydrogen may also be lower alkyl.

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 12:17:25 ON 11 JAN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 11 Jan 2010 VOL 152 ISS 3
FILE LAST UPDATED: 10 Jan 2010 (20100110/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

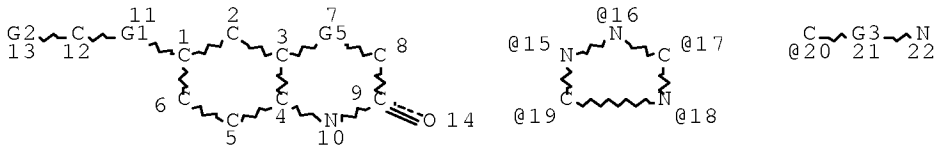
HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

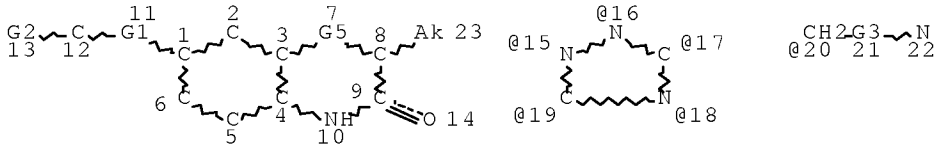
=>
=> d stat que l13
L1 STR



REP G1=(0-1) C
VAR G2=N/15/16/17/18/19/20
REP G3=(0-1) C
VAR G5=CH/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L3 5172 SEA FILE=REGISTRY SSS FUL L1
L5 STR



REP G1=(0-1) C
VAR G2=N/15/16/17/18/19/20
REP G3=(0-1) CH2
VAR G5=CH/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
L6 1693 SEA FILE=REGISTRY SUB=L3 SSS FUL L5
L11 18 SEA FILE=HCAPLUS ABB=ON PLU=ON L6
L12 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (?PHARMA? OR ?THERAP? OR ?DRUG? OR ?MEDIC?)
L13 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND (AY=<2003 OR PY=<2003 OR PRY=<2003 OR PD=< JANUARY 5, 2004)

=> d ibib abs hitstr l13 1-5

L13 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:567163 HCAPLUS Full-text
DOCUMENT NUMBER: 143:78213

TITLE: Preparation of cyclohexylalkyl quinolinone and quinoxalinone derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors

INVENTOR(S): Mabire, Dominique Jean-Pierre; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

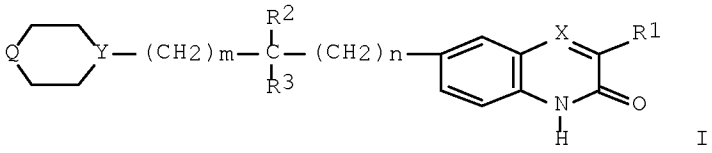
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058843	A1	20050630	WO 2004-EP13165	20041118 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004299183	A1	20050630	AU 2004-299183	20041118 <--
CA 2548273	A1	20050630	CA 2004-2548273	20041118 <--
EP 1694653	A1	20060830	EP 2004-803192	20041118 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1890225	A	20070103	CN 2004-80036656	20041118 <--
BR 2004017571	A	20070320	BR 2004-17571	20041118 <--
JP 2007513898	T	20070531	JP 2006-543409	20041118 <--
SG 151250	A1	20090430	SG 2009-1548	20041118 <--
US 20090042881	A1	20090212	US 2006-596083	20060530 <--
MX 2006006573	A	20060731	MX 2006-6573	20060609 <--
IN 2006DN03331	A	20070824	IN 2006-DN3331	20060609 <--
ZA 2006004774	A	20071128	ZA 2006-4774	20060609 <--
KR 2006108753	A	20061018	KR 2006-713344	20060703 <--
NO 2006003129	A	20060705	NO 2006-3129	20060705 <--

PRIORITY APPLN. INFO.: EP 2003-78918 A 20031210 <--
WO 2004-EP13165 W 20041118

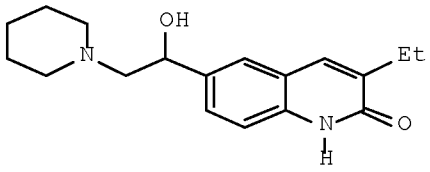
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:78213; MARPAT 143:78213

GI



I



II

AB Title compds. I [n = 0-1; m = 0-1; X = N, CR4; Y = N, CH; Q = NH, O, CO, etc.; R1 = alkyl, thienyl; R2 = H or together with R3 may form O; R3 = H, alkyl, OH, etc. or R3 = (CH2)pZ; R4 = H or together with R1 may form (CH=CH)2; p = 0-2; Z = (un)substituted heterocycle] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of poly(ADP-ribose) polymerase (PARP). Thus, e.g., II was prepared by reaction of 3-ethyl-2(1H)-quinolinone with chloro-acetyl chloride followed by coupling with piperidine and subsequent reduction The inhibitory activity of I towards PARP-1 was evaluated in scintillation proximity assays and in filtration assays and it was revealed that compds. of the invention displayed inhibitory activity at initial test concns. of 10-6 and 10-5 M, resp. I as inhibitors of poly(ADP-ribose) polymerase should prove useful in the treatment of PARP-1 mediated disorders. Pharmaceutical compns. comprising I are disclosed.

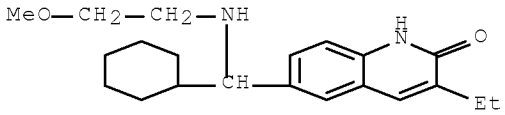
IT 855444-20-1P 855444-33-6P 855444-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

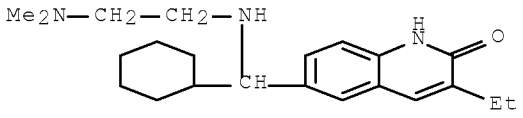
RN 855444-20-1 HCAPLUS

CN 2(1H)-Quinolinone, 6-[cyclohexyl[(2-methoxyethyl)amino]methyl]-3-ethyl- (CA INDEX NAME)



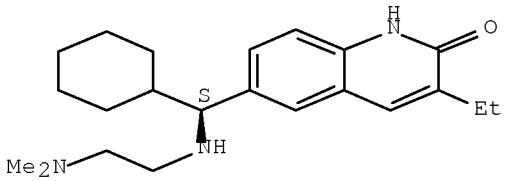
RN 855444-33-6 HCAPLUS

CN 2(1H)-Quinolinone, 6-[cyclohexyl[[2-(dimethylamino)ethyl]amino]methyl]-3-ethyl- (CA INDEX NAME)



RN 855444-40-5 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(S)-cyclohexyl[[2-(dimethylamino)ethyl]amino]methyl]-3-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

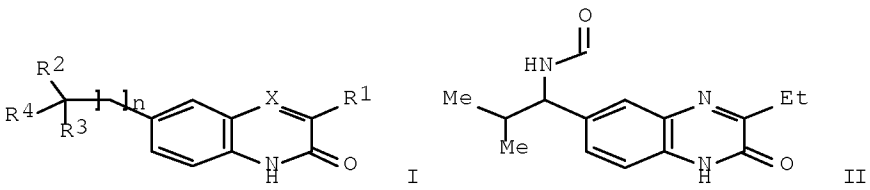
L13 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:523430 HCAPLUS Full-text
DOCUMENT NUMBER: 143:60003
TITLE: Preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
INVENTOR(S): Mabire, Dominique Jean-Pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054210	A1	20050616	WO 2004-EP13164	20041118 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,

	SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004295059	A1	20050616	AU 2004-295059	20041118	<--
CA 2546657	A1	20050616	CA 2004-2546657	20041118	<--
EP 1709012	A1	20061011	EP 2004-819602	20041118	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU					
CN 1890224	A	20070103	CN 2004-80035857	20041118	<--
BR 2004016532	A	20070109	BR 2004-16532	20041118	<--
JP 2007513101	T	20070524	JP 2006-541830	20041118	<--
SG 151249	A1	20090430	SG 2009-1531	20041118	<--
IN 2006DN03071	A	20070810	IN 2006-DN3071	20060529	<--
US 20070129375	A1	20070607	US 2006-596086	20060530	<--
MX 2006006255	A	20060809	MX 2006-6255	20060602	<--
KR 2006118534	A	20061123	KR 2006-711234	20060608	<--
NO 2006003028	A	20060628	NO 2006-3028	20060628	<--
PRIORITY APPLN. INFO.:			EP 2003-78859 A	20031205	<--
			WO 2004-EP13164 W	20041118	

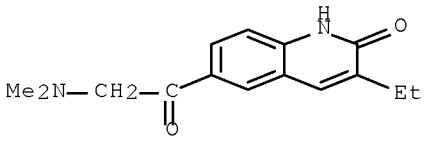
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:60003; MARPAT 143:60003
GI



AB The title compds. I [n = 0-2; X = N, CR5; R5 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thienyl; R2 = H, OH, or taken together with R3 or R4 may form O; R3 = OH, OR8, SR9, etc.; R8 = alkyl, alkylcarbonyl, dialkylaminoalkyl; R9 = dialkylaminoalkyl; R4 = H, alkyl, furanyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from 1-(4-amino-3-nitrophenyl)-2-methyl-1-propanone, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

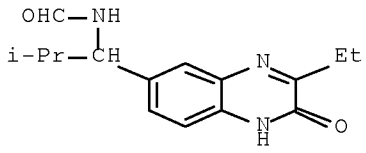
IT 854523-79-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854523-79-8 HCAPLUS
CN 2(1H)-Quinolinone, 6-[2-(dimethylamino)acetyl]-3-ethyl- (CA INDEX NAME)

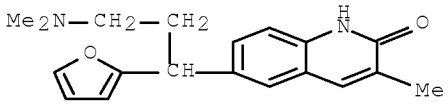


IT 854523-77-6P 854523-80-1P 854523-82-3P
854523-83-4P 854523-86-7P 854523-87-8P
854523-88-9P 854523-89-0P 854523-90-3P
854523-91-4P 854523-92-5P 854523-94-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

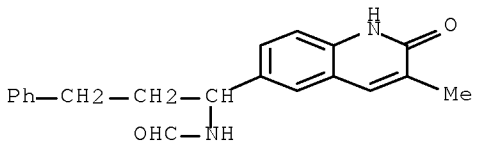
RN 854523-77-6 HCAPLUS
CN Formamide, N-[1-(3-ethyl-1,2-dihydro-2-oxo-6-quinoxalinyl)-2-methylpropyl]- (CA INDEX NAME)



RN 854523-80-1 HCAPLUS
CN 2(1H)-Quinolinone, 6-[3-(dimethylamino)-1-(2-furanyl)propyl]-3-methyl- (CA INDEX NAME)

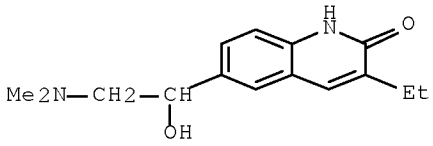


RN 854523-82-3 HCAPLUS
CN Formamide, N-[1-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)-3-phenylpropyl]- (CA INDEX NAME)

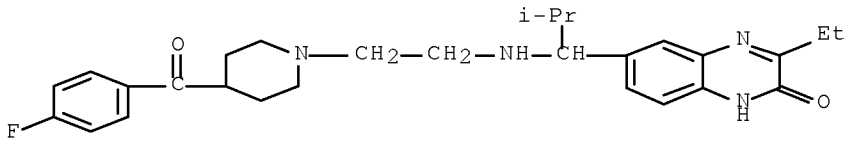


RN 854523-83-4 HCAPLUS
CN 2(1H)-Quinolinone, 6-[2-(dimethylamino)-1-hydroxyethyl]-3-ethyl- (CA

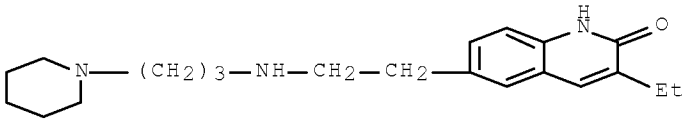
INDEX NAME)



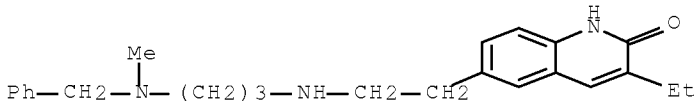
RN 854523-86-7 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[1-[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]-2-methylpropyl]- (CA INDEX NAME)



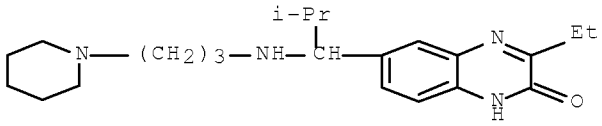
RN 854523-87-8 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[2-[[3-(1-piperidinyl)propyl]amino]ethyl]- (CA INDEX NAME)



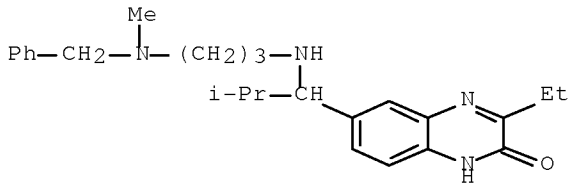
RN 854523-88-9 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[2-[[3-[methyl(phenylmethyl)amino]propyl]amino]ethyl]- (CA INDEX NAME)



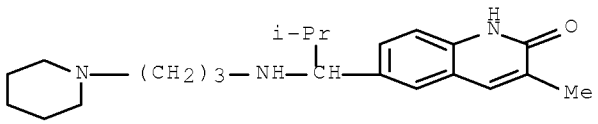
RN 854523-89-0 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[2-methyl-1-[[3-(1-piperidinyl)propyl]amino]propyl]- (CA INDEX NAME)



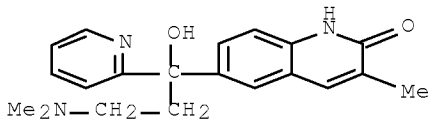
RN 854523-90-3 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[2-methyl-1-[[3-[methyl(phenylmethyl)amino]propyl]amino]propyl]- (CA INDEX NAME)



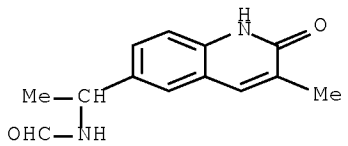
RN 854523-91-4 HCAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-[2-methyl-1-[[3-(1-piperidinyl)propyl]amino]propyl]- (CA INDEX NAME)



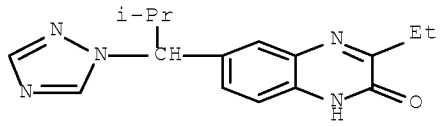
RN 854523-92-5 HCAPLUS
CN 2(1H)-Quinolinone, 6-[3-(dimethylamino)-1-hydroxy-1-(2-pyridinyl)propyl]-3-methyl- (CA INDEX NAME)



RN 854523-94-7 HCAPLUS
CN Formamide, N-[1-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)ethyl]- (CA INDEX NAME)



IT 130347-78-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)
RN 130347-78-3 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[2-methyl-1-(1H-1,2,4-triazol-1-yl)propyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

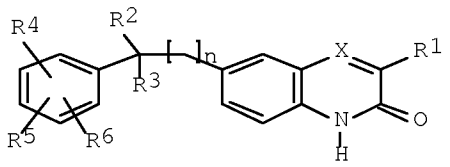
L13 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:523424 HCAPLUS Full-text
DOCUMENT NUMBER: 143:60001
TITLE: Preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
INVENTOR(S): Mabire, Dominique Jean-pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054201	A1	20050616	WO 2004-EP13163	20041118 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004295058	A1	20050616	AU 2004-295058	20041118 <--
CA 2546300	A1	20050616	CA 2004-2546300	20041118 <--
EP 1687277	A1	20060809	EP 2004-819601	20041118 <--

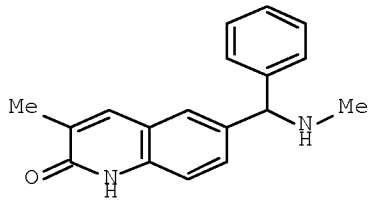
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

CN 1882547	A	20061220	CN 2004-80034176		20041118	<--
BR 2004016206	A	20061226	BR 2004-16206		20041118	<--
JP 2007511574	T	20070510	JP 2006-540338		20041118	<--
SG 150533	A1	20090330	SG 2009-1197		20041118	<--
US 20070072842	A1	20070329	US 2006-595891		20060518	<--
IN 2006DN02813	A	20070803	IN 2006-DN2813		20060518	<--
MX 2006005687	A	20060817	MX 2006-5687		20060519	<--
ZA 2006004075	A	20070926	ZA 2006-4075		20060519	<--
KR 2006115393	A	20061108	KR 2006-710201		20060525	<--
NO 2006002894	A	20060809	NO 2006-2894		20060620	<--
PRIORITY APPLN. INFO.:			WO 2003-EP13028	A	20031120	<--
			EP 2003-78860	A	20031205	<--
			WO 2003-EP130	A	20031120	<--
			WO 2004-EP13163	W	20041118	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:60001; MARPAT 143:60001
GI



I

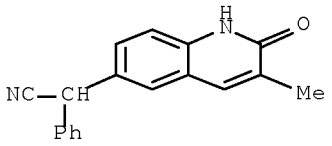


II

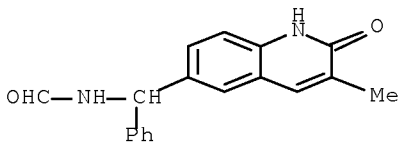
AB The title compds. I [n = 0-2; X = N, CR7; R7 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thiophenyl; R2 = H, OH, alkyl, alkynyl or taken together with R3 may form O; R3 = OH, OR10, SR11, etc.; R10, R11 = CHO, alkyl, (alkyl)amino, etc.; R4-R6 = H, halo, trihalomethyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from bromobenzene and 3-methyl-6-quinolinecarboxaldehyde, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 854532-61-9P 854533-95-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854532-61-9 HCAPLUS
CN 6-Quinolineacetonitrile, 1,2-dihydro-3-methyl-2-oxo-α-phenyl- (CA INDEX NAME)

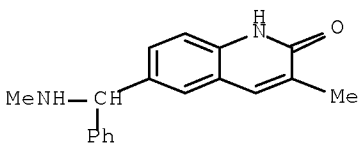


RN 854533-95-2 HCAPLUS
CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]- (CA INDEX NAME)

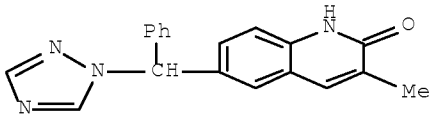


IT 854532-58-4P 854532-62-0P 854532-63-1P
854532-64-2P 854532-65-3P 854532-75-5P
854532-79-9P 854532-80-2P 854532-81-3P
854532-82-4P 854532-83-5P 854532-84-6P
854532-86-8P 854532-87-9P 854533-07-6P
854533-14-5P 854533-16-7P 854533-18-9P
854533-20-3P 854533-25-8P 854533-27-0P
854533-29-2P 854533-43-0P 854533-56-5P
854533-62-3P 854533-65-6P 854533-67-8P
854533-75-8P 854533-79-2P 854533-81-6P
854533-83-8P 854533-85-0P 854533-87-2P
854533-91-8P 854533-93-0P 854534-23-9P
854534-24-0P 854534-25-1P 854534-26-2P
854534-27-3P 854534-28-4P 854535-35-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

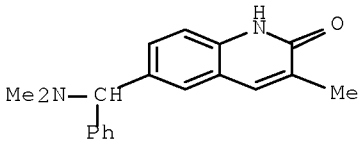
RN 854532-58-4 HCAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-[(methylamino)phenylmethyl]- (CA INDEX NAME)



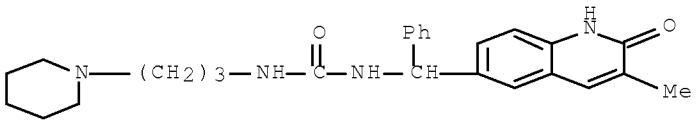
RN 854532-62-0 HCAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-(phenyl-1H-1,2,4-triazol-1-ylmethyl)- (CA INDEX NAME)



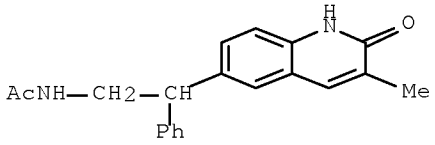
RN 854532-63-1 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(dimethylamino)phenylmethyl]-3-methyl- (CA INDEX NAME)



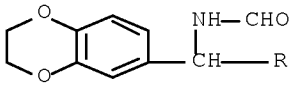
RN 854532-64-2 HCAPLUS
CN Urea, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]-N'-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

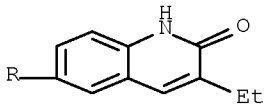


RN 854532-65-3 HCAPLUS
CN Acetamide, N-[2-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)-2-phenylethyl]- (CA INDEX NAME)

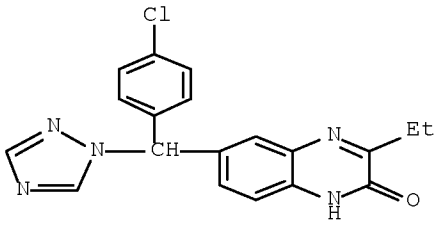


RN 854532-75-5 HCAPLUS
CN Formamide, N-[(2,3-dihydro-1,4-benzodioxin-6-yl)(3-ethyl-1,2-dihydro-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)

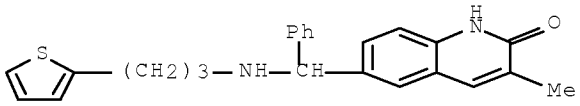




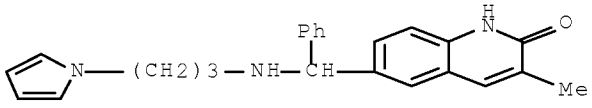
RN 854532-79-9 HCAPLUS
CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-1,2,4-triazol-1-ylmethyl]-3-ethyl- (CA INDEX NAME)



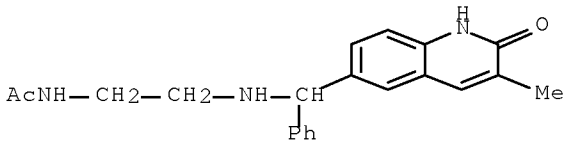
RN 854532-80-2 HCAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-[phenyl[[3-(2-thienyl)propyl]amino]methyl]- (CA INDEX NAME)



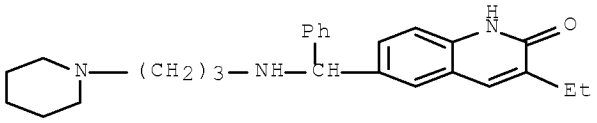
RN 854532-81-3 HCAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-[phenyl[[3-(1H-pyrrol-1-yl)propyl]amino]methyl]- (CA INDEX NAME)



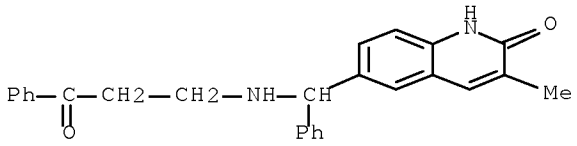
RN 854532-82-4 HCAPLUS
CN Acetamide, N-[2-[[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]amino]ethyl]- (CA INDEX NAME)



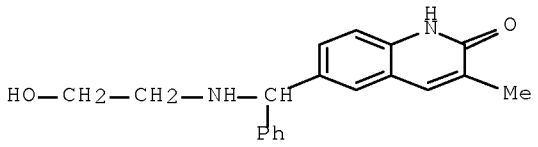
RN 854532-83-5 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[phenyl[[3-(1-piperidinyl)propyl]amino]methyl]- (CA INDEX NAME)



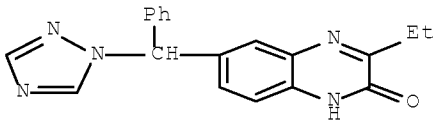
RN 854532-84-6 HCAPLUS
CN 2(1H)-Quinolinone, 3-methyl-6-[[(3-oxo-3-phenylpropyl)amino]phenylmethyl]- (CA INDEX NAME)



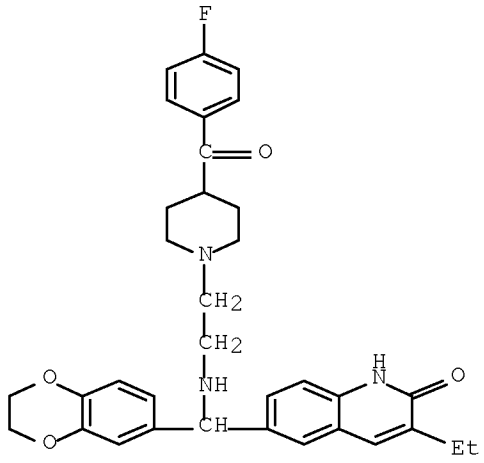
RN 854532-86-8 HCAPLUS
CN 2(1H)-Quinolinone, 6-[[(2-hydroxyethyl)amino]phenylmethyl]-3-methyl- (CA INDEX NAME)



RN 854532-87-9 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-(phenyl-1H-1,2,4-triazol-1-ylmethyl)- (CA INDEX NAME)



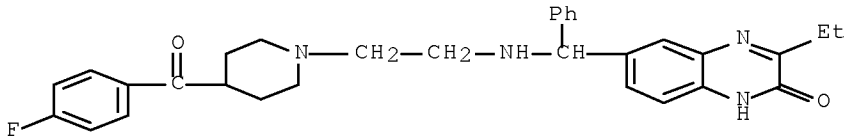
RN 854533-07-6 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]methyl]-3-ethyl- (CA INDEX NAME)



RN 854533-14-5 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]phenylmethyl]-, ethanedioate (2:5) (CA INDEX NAME)

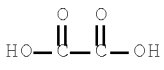
CM 1

CRN 854533-13-4
CMF C31 H33 F N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

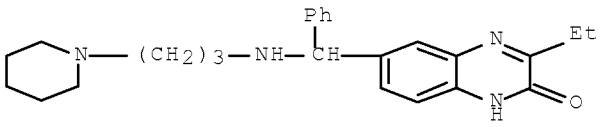


RN 854533-16-7 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[phenyl[[3-(1-

piperidinyl)propyl]amino]methyl]-, ethanedioate (2:5) (CA INDEX NAME)

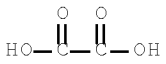
CM 1

CRN 854533-15-6
CMF C25 H32 N4 O



CM 2

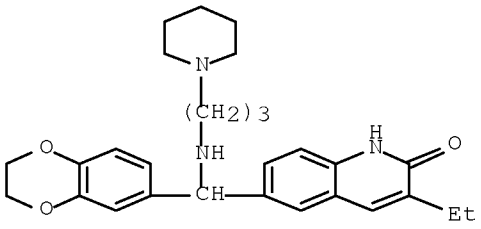
CRN 144-62-7
CMF C2 H2 O4



RN 854533-18-9 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[[3-(1-piperidinyl)propyl]amino]methyl]-3-ethyl-, ethanedioate (1:2) (CA INDEX NAME)

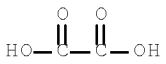
CM 1

CRN 854533-17-8
CMF C28 H35 N3 O3



CM 2

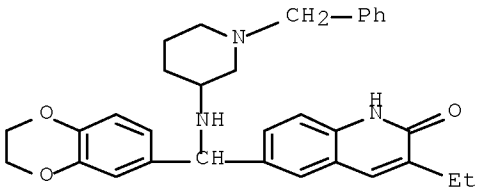
CRN 144-62-7
CMF C2 H2 O4



RN 854533-20-3 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[[1-(phenylmethyl)-3-piperidinyl]amino]methyl]-3-ethyl-, ethanedioate (2:5) (CA INDEX NAME)

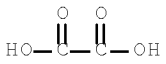
CM 1

CRN 854533-19-0
CMF C32 H35 N3 O3



CM 2

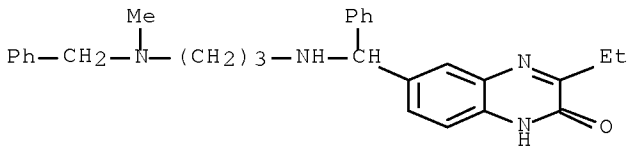
CRN 144-62-7
CMF C2 H2 O4



RN 854533-25-8 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[[[3-[methyl(phenylmethyl)amino]propyl]amino]phenylmethyl]-, ethanedioate (1:2) (CA INDEX NAME)

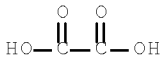
CM 1

CRN 854533-24-7
CMF C28 H32 N4 O



CM 2

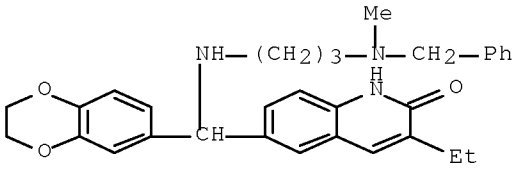
CRN 144-62-7
CMF C2 H2 O4



RN 854533-27-0 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[[3-[methyl(phenylmethyl)amino]propyl]amino]methyl]-3-ethyl-, ethanedioate (1:2) (CA INDEX NAME)

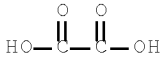
CM 1

CRN 854533-26-9
CMF C31 H35 N3 O3



CM 2

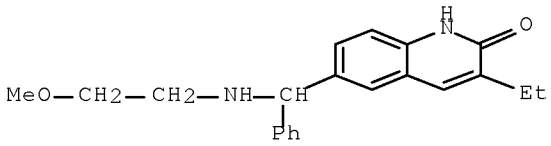
CRN 144-62-7
CMF C2 H2 O4



RN 854533-29-2 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[[(2-methoxyethyl)amino]phenylmethyl]-, ethanedioate (1:2) (CA INDEX NAME)

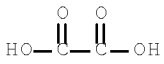
CM 1

CRN 854533-28-1
CMF C21 H24 N2 O2

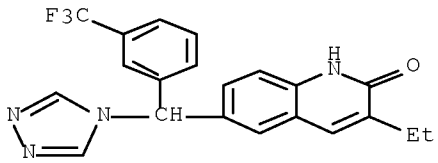


CM 2

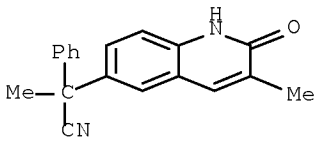
CRN 144-62-7
CMF C2 H2 O4



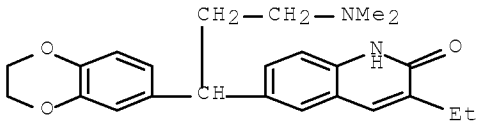
RN 854533-43-0 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[4H-1,2,4-triazol-4-yl[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RN 854533-56-5 HCAPLUS
CN 6-Quinolineacetonitrile, 1,2-dihydro-α,3-dimethyl-2-oxo-α-phenyl- (CA INDEX NAME)



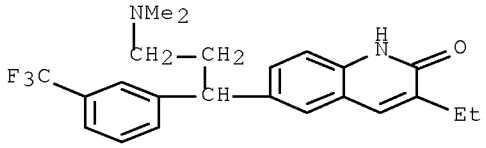
RN 854533-62-3 HCAPLUS
CN 2(1H)-Quinolinone, 6-[1-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-(dimethylamino)propyl]-3-ethyl- (CA INDEX NAME)



RN 854533-65-6 HCAPLUS
CN 2(1H)-Quinolinone, 6-[3-(dimethylamino)-1-[3-(trifluoromethyl)phenyl]propyl]-3-ethyl-, ethanedioate (1:1) (CA INDEX NAME)

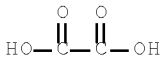
CM 1

CRN 854533-64-5
CMF C23 H25 F3 N2 O

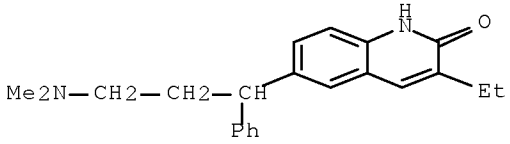


CM 2

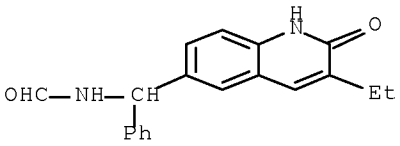
CRN 144-62-7
CMF C2 H2 O4



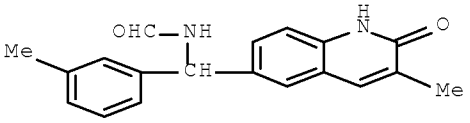
RN 854533-67-8 HCAPLUS
CN 2(1H)-Quinolinone, 6-[3-(dimethylamino)-1-phenylpropyl]-3-ethyl- (CA INDEX NAME)



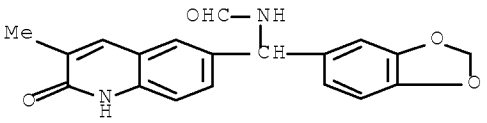
RN 854533-75-8 HCAPLUS
CN Formamide, N-[(3-ethyl-1,2-dihydro-2-oxo-6-quinolinyl)phenylmethyl]- (CA INDEX NAME)



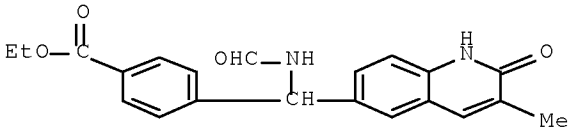
RN 854533-79-2 HCAPLUS
CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(3-methylphenyl)methyl]- (CA INDEX NAME)



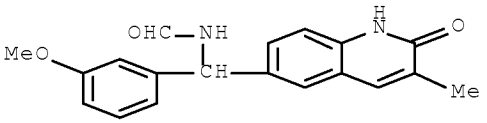
RN 854533-81-6 HCAPLUS
CN Formamide, N-[1,3-benzodioxol-5-yl(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)



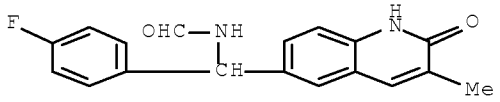
RN 854533-83-8 HCAPLUS
CN Benzoic acid, 4-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(formylamino)methyl]-, ethyl ester (CA INDEX NAME)



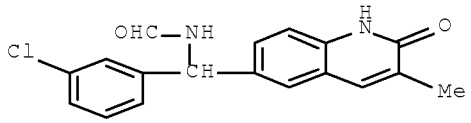
RN 854533-85-0 HCAPLUS
CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(3-methoxyphenyl)methyl]- (CA INDEX NAME)



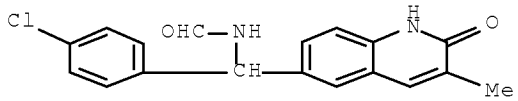
RN 854533-87-2 HCAPLUS
CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(4-fluorophenyl)methyl]- (CA INDEX NAME)



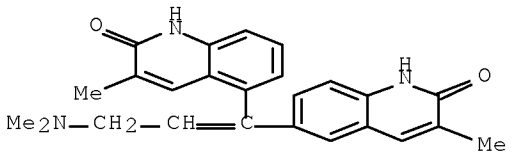
RN 854533-91-8 HCAPLUS
CN Formamide, N-[(3-chlorophenyl)(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)



RN 854533-93-0 HCAPLUS
CN Formamide, N-[(4-chlorophenyl)(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)

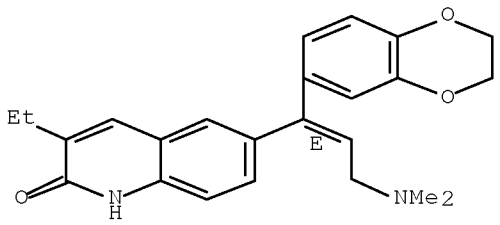


RN 854534-23-9 HCAPLUS
CN 2(1H)-Quinolinone, 5-[1-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)-3-(dimethylamino)-1-propen-1-yl]-3-methyl- (CA INDEX NAME)



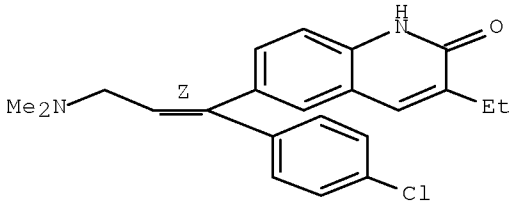
RN 854534-24-0 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(1E)-1-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

Double bond geometry as shown.



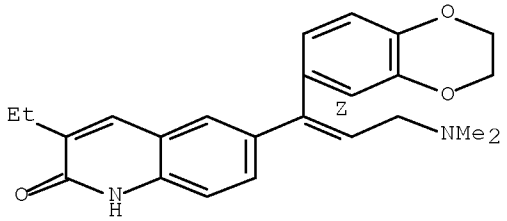
RN 854534-25-1 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(1Z)-1-(4-chlorophenyl)-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

Double bond geometry as shown.



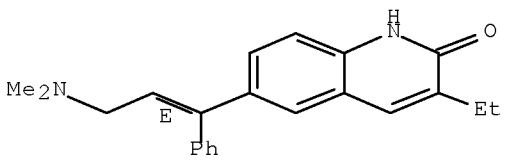
RN 854534-26-2 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(1Z)-1-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

Double bond geometry as shown.



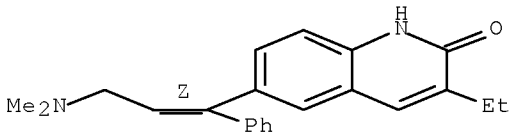
RN 854534-27-3 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(1E)-3-(dimethylamino)-1-phenyl-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

Double bond geometry as shown.

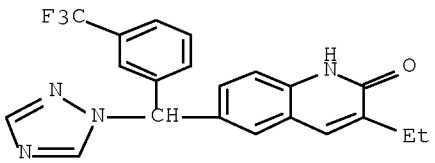


RN 854534-28-4 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(1Z)-3-(dimethylamino)-1-phenyl-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

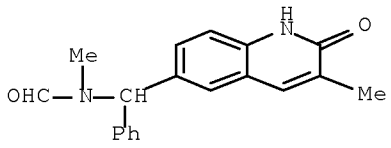
Double bond geometry as shown.



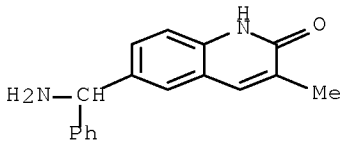
RN 854535-35-6 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[1H-1,2,4-triazol-1-yl[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



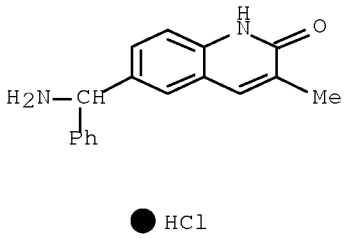
IT 854534-38-6P 854534-48-8P 854534-49-9P
854534-50-2P 854534-51-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)
RN 854534-38-6 HCAPLUS
CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]-N-methyl- (CA INDEX NAME)



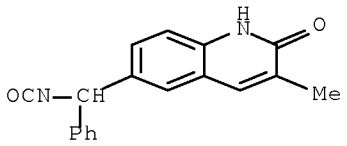
RN 854534-48-8 HCAPLUS
CN 2(1H)-Quinolinone, 6-(aminophenylmethyl)-3-methyl- (CA INDEX NAME)



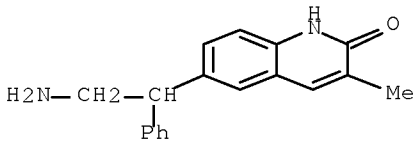
RN 854534-49-9 HCAPLUS
CN 2(1H)-Quinolinone, 6-(aminophenylmethyl)-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



RN 854534-50-2 HCAPLUS
CN 2(1H)-Quinolinone, 6-(isocyanatophenylmethyl)-3-methyl- (CA INDEX NAME)



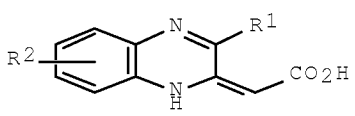
RN 854534-51-3 HCAPLUS
CN 2(1H)-Quinolinone, 6-(2-amino-1-phenylethyl)-3-methyl- (CA INDEX NAME)

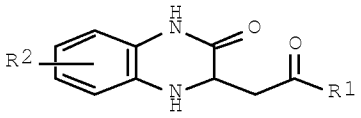


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:258080 HCAPLUS Full-text
DOCUMENT NUMBER: 141:314292
TITLE: Thermal rearrangement of 3-phenacylquinoxalones-2

AUTHOR(S): Kolos, N. N.; Berezkina, T. V.; Orlov, V. D.
CORPORATE SOURCE: Khar'kov. Nats. Univ. im. V. N. Karazina, Kharkov, 61077, Ukraine
SOURCE: Zhurnal Organichnoi ta Farmatsevtichnoi Khimii (2003), 1(1-2), 31-34
CODEN: ZOFKAM
PUBLISHER: Natsional'nii Farmatsevtichnii Universitet
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 141:314292
GI

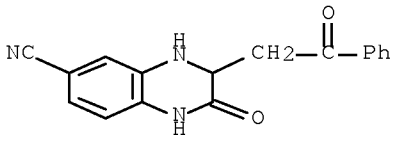

I


II

AB 2-Carboxymethylidene-3-aryl-1,2-dihydroquinoxalines I (R1 = Ph, 4-MeC6H4, 2-thienyl, R2 = H, 7-Cl; R1 = Ph, R2 = 6,7-Me2, 6-CN, 7-Cl) and unsubstituted quinoxalin-2-one were prepared by thermal rearrangement of 3-acylmethyldihydroquinoxalin-2-ones II in acetic acid or on heating above the m.p.; the direction of the reactions depends on the nature of the substituent in the quinoxaline aromatic ring. The thermodn. characteristics of decomposition of II (R1 = Ph, R2 = H) were calculated and computer anal. of potential pharmacol. activity of some products was carried out.

IT 448959-30-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (carboxymethylidene)dihydroquinoxalines by thermal rearrangement of (acylmethyl)dihydroquinoxalinones)

RN 448959-30-6 HCAPLUS
CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-2-oxo-3-(2-oxo-2-phenylethyl)- (CA INDEX NAME)



L13 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:612014 HCAPLUS Full-text
DOCUMENT NUMBER: 113:212014
ORIGINAL REFERENCE NO.: 113:35835a,35838a
TITLE: Preparation of (1H-azol-1-ylmethyl)quinolines, -quinazolines, and -quinoxalines as drugs
INVENTOR(S): Freyne, Eddy Jean Edgard; Venet, Marc Gaston; Raeymaekers, Alfons Herman Margaretha; Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 106 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 371564	A2	19900606	EP 1989-203014	19891128 <--
EP 371564	A3	19910529		
EP 371564	B1	19950712		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5028606	A	19910702	US 1989-434957	19891113 <--
US 5037829	A	19910806	US 1989-435120	19891113 <--
CA 2002864	A1	19900529	CA 1989-2002864	19891114 <--
CA 2002864	C	19991116		
DK 8905994	A	19900530	DK 1989-5994	19891128 <--
DK 172748	B1	19990628		
NO 8904734	A	19900530	NO 1989-4734	19891128 <--
NO 174509	B	19940207		
NO 174509	C	19940518		
AU 8945646	A	19900607	AU 1989-45646	19891128 <--
AU 620946	B2	19920227		
HU 52498	A2	19900728	HU 1989-6220	19891128 <--
HU 205106	B	19920330		
ZA 8909076	A	19910731	ZA 1989-9076	19891128 <--
SU 1780536	A3	19921207	SU 1989-4742543	19891128 <--
IL 92486	A	19930708	IL 1989-92486	19891128 <--
ES 2088889	T3	19961001	ES 1989-203014	19891128 <--
FI 101964	B	19980930	FI 1989-5687	19891128 <--
FI 101964	B1	19980930		
CN 1042912	A	19900613	CN 1989-108925	19891129 <--
CN 1033752	C	19970108		
JP 02223579	A	19900905	JP 1989-307793	19891129 <--
JP 2916181	B2	19990705		
US 5151421	A	19920929	US 1991-672298	19910320 <--
US 5185346	A	19930209	US 1991-704746	19910523 <--
US 5268380	A	19931207	US 1992-973871	19921110 <--
US 5441954	A	19950815	US 1993-131817	19931005 <--
CN 1106004	A	19950802	CN 1994-117801	19941102 <--
CN 1036002	C	19971001		
CN 1106005	A	19950802	CN 1994-117802	19941102 <--
CN 1036003	C	19971001		
US 5612354	A	19970318	US 1995-409551	19950323 <--

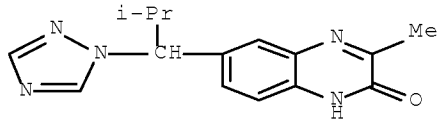
PRIORITY APPLN. INFO.:	GB 1988-27820	A	19881129 <--
	GB 1988-27821	A	19881129 <--
	GB 1988-27822	A	19881129 <--
	US 1989-434205	B2	19891113 <--
	US 1989-434957	A3	19891113 <--
	US 1991-704746	A3	19910523 <--
	US 1992-973871	A3	19921110 <--
	US 1993-131817	A3	19931005 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 113:212014
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = H, alkyl; X1:X2 = CH:CH, CH:N, N:CH; Y = H, alkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl; Z = (un)substituted (oxo)quinolinyl, (oxo- or thioxo)quinazolinyl, (oxo- or dioxo)quinoxalinyl] were

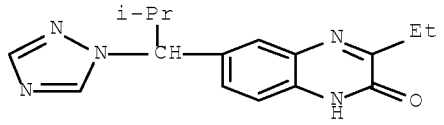
prepared as retinoic acid metabolism inhibitors, aromatase inhibitors, etc. Thus, 3,4-dihydroquinolin-2(1H)-one was stirred 2 h at 70° with BzCl in DMF containing AlCl3 and the product reduced by NaBH4 to give hydroxymethylquinolinone II (R1 = Ph, R2 = OH). II (R1 = Me, R2 = OH) was stirred overnight with SOCl2 in THF and the product II (R1 = Me, R2 = Cl) stirred overnight at 60-70° with 1H-imidazole in DMSO to give II (R1 = Me, R2 = imidazolo) which maintained plasma levels of i.v. administered all-trans-retinoic acid at ≥10 ng/mL in rats 2 h after oral administration of 40 mg/kg.

IT 130347-01-2P 130347-78-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as retinoate metabolism and aromatase inhibitor)

RN 130347-01-2 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-methyl-6-[2-methyl-1-(1H-1,2,4-triazol-1-yl)propyl]- (CA INDEX NAME)

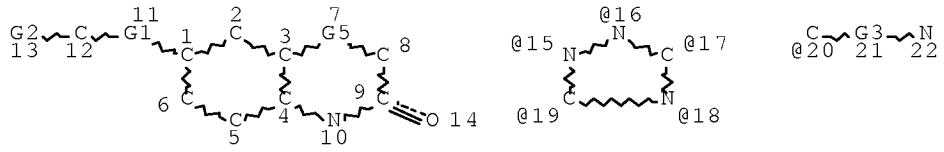


RN 130347-78-3 HCAPLUS
CN 2(1H)-Quinoxalinone, 3-ethyl-6-[2-methyl-1-(1H-1,2,4-triazol-1-yl)propyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (43 CITINGS)

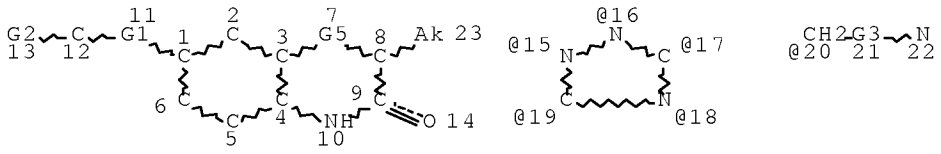
=> => d stat que l20
L1 STR



REP G1=(0-1) C
VAR G2=N/15/16/17/18/19/20
REP G3=(0-1) C
VAR G5=CH/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L3 5172 SEA FILE=REGISTRY SSS FUL L1
L5 STR



REP G1=(0-1) C
VAR G2=N/15/16/17/18/19/20
REP G3=(0-1) CH2
VAR G5=CH/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
L6 1693 SEA FILE=REGISTRY SUB=L3 SSS FUL L5
L11 18 SEA FILE=HCAPLUS ABB=ON PLU=ON L6
L12 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (?PHARMA? OR ?THERAP? OR ?DRUG? OR ?MEDIC?)
L14 3479 SEA FILE=REGISTRY ABB=ON PLU=ON L3 NOT L6
L15 700 SEA FILE=HCAPLUS ABB=ON PLU=ON L14
L16 548 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND (AY=<2003 OR PY=<2003 OR PRY=<2003 OR PD=< JANUARY 5, 2004)
L19 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L16 AND CHEMOTHER?
L20 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 NOT L12

=> d ibib abs hitstr l20 1-6

L20 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:36553 HCAPLUS Full-text
DOCUMENT NUMBER: 142:134479
TITLE: Preparation of tetrahydroquinoline derivatives as cannabinoid receptor modulators
INVENTOR(S): Sher, Philip M.; Sun, Chongqing; Sulsky, Richard B.; Wu, Gang; Ewing, William R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20050009870	A1	20050113	US 2004-889268	20040712 <--
US 7276608	B2	20071002		
US 20050014786	A1	20050120	US 2004-889274	20040712 <--
WO 2005007111	A2	20050127	WO 2004-US22407	20040712 <--
WO 2005007111	A3	20050414		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2005007628	A1	20050127	WO 2004-US22408	20040712 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1644370	A2	20060412	EP 2004-778085	20040712 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

EP 1644335	A1	20060412	EP 2004-778086	20040712 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

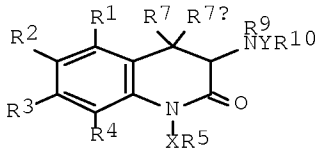
US 20080194625	A1	20080814	US 2008-108616	20080424 <--

PRIORITY APPLN. INFO.:

US 2003-486774P	P	20030711 <--
US 2004-889274	A1	20040712
WO 2004-US22407	W	20040712
WO 2004-US22408	W	20040712

OTHER SOURCE(S): MARPAT 142:134479

GI



I

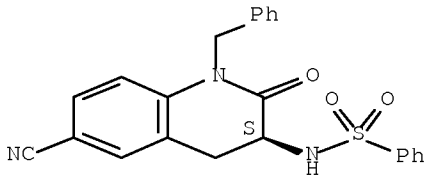
AB The invention provides for compds. I [R1, R3, R4 = H, alkyl,halo, CN; R2 = alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, aralkyl, heteroaralkyl, acyl, OR11, OCHF2; R5 = alkyl alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, CO2R13, CONR13R13a; R7, R7a

= H, alkly, cycloalkyl; R9 = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, aralkyl, heteroaralkyl; R10 = alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroaralkyl; R11 = aryl, heteroaryl, heteroaralkyl; R12, R12a = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R12R12a = cycloalkyl, heterocyclyl; R13, R13a =; R13R13a = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; X = (CR14R14a)n; R14, R14a = H, alkyl; R15 = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R10R15 = cycloalkyl, heterocyclyl; n = 0 - 2; with the provisos: R5 ≠ (un)substituted imidazole; when Y = SO2, then R10 ≠ 7-membered lactam; when Y = SO2NR15, then R10, R15 ≠ 7-membered lactam]. Thus, N-(1-Benzyl-2-oxo-1,2,3,4-tetrahydroquinolin-3- yl)benzenesulfonamide [I; R1 - R4 = R7 = R7a = R9 = H, R5 = R10 = Ph, X = CH2, Y = SO2] was prepared from 3-amino-1,2,3,4-tetrahydroquinolin-2-one via N-protection with Boc2O, N-alkylation with PhCH2Br in DMF containing Cs2CO3, deprotection with CF3CO2H in CH2Cl2, and sulfonylation with PhSO2Cl in MeCN contg.EtN(CHMe2)2. Further provided are methods of using such compds. for the treatment of eating disorders, metabolic disorders, obesity, cognitive disorders, neurol. disorders, pain disorders, inflammation disorders, in the promotion of smoking cessation and for the treatment of other psychiatric disorders. The cannabinoid receptor binding activity of I were tested [Ki = 0.01 - 4000 nM]. Also provided are pharmaceutical compns. containing such compds. and pharmaceutical combinations of the compds. of the invention with other therapeutic agents.

IT 824412-60-4P, (S)-N-(1-Benzyl-6-cyano-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)benzenesulfonamide 824412-61-5P, (S)-N-(1-Benzyl-6-cyano-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)-3,5-difluorobenzenesulfonamide
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivs. as cannabinoid receptor modulators)

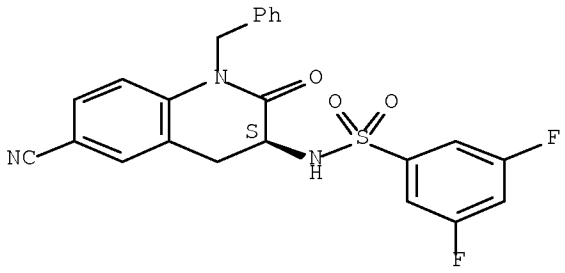
RN 824412-60-4 HCAPLUS
CN Benzenesulfonamide, N-[(3S)-6-cyano-1,2,3,4-tetrahydro-2-oxo-1-(phenylmethyl)-3-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 824412-61-5 HCAPLUS
CN Benzenesulfonamide, N-[(3S)-6-cyano-1,2,3,4-tetrahydro-2-oxo-1-(phenylmethyl)-3-quinolinyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:902165 HCAPLUS Full-text
DOCUMENT NUMBER: 141:360708
TITLE: Methods and materials for the treatment of pain comprising opioid antagonists
INVENTOR(S): Burns, Lindsay H.; Schoenhard, Grant L.
PATENT ASSIGNEE(S): Pain Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091593	A2	20041028	WO 2004-US11569	20040414 <--
WO 2004091593	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004229551	A1	20041028	AU 2004-229551	20040414 <--
CA 2522471	A1	20041028	CA 2004-2522471	20040414 <--
US 20050038062	A1	20050217	US 2004-825257	20040414 <--
EP 1613324	A2	20060111	EP 2004-759539	20040414 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: US 2003-463004P P 20030414 <--
WO 2004-US11569 W 20040414

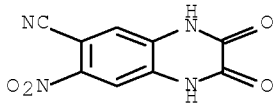
AB Methods and compns. for treating subjects with pain, including neuropathic pain, using opioid antagonists are described. Such antagonists are used alone or in combinations with opioid agonists, wherein an opioid antagonist enhances the neuropathic pain-alleviating potency of an opioid agonist. For example, the

combination of naltrexone (0.1 ng) and morphine (10 μg), representing a ratio of 1:100,000 of the opioid antagonist to opioid agonist, twice daily, resulted in a significant antihyperalgesic effect in a rat model of neuropathic pain, compared to vehicle or morphine alone for the Day 1 through Day 7 duration. Although morphine alone at 10 μg resulted in 65% and 73% antihyperalgesia on Day 1 and 2, resp., with return to baseline by day 5, the combination of morphine (10 μg) and naltrexone (O.1 ng) resulted in 75, 81, 91, 63, 79, 67 and 56% antihyperalgesia on Days 1 through 7, resp., as well as analgesia (paw withdrawal latencies went above baseline) Days 1 through 7.

IT 115066-14-3, CNQX
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid antagonists alone or in combinations with opioid agonists and other agents for treatment of pain)

RN 115066-14-3 HCAPLUS

CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:601225 HCAPLUS Full-text

DOCUMENT NUMBER: 142:49031

TITLE: Differential effects of NMDA and AMPA/kainate receptor antagonists on superoxide production and MnSOD activity in rat brain following intrahippocampal injection

AUTHOR(S): Radenovic, L.; Selakovic, V.; Kartelija, G.; Todorovic, N.; Nedeljkovic, M.

CORPORATE SOURCE: Department of Physiology and Biochemistry, Faculty of Biology, University of Belgrade, Belgrade, 11000,

SOURCE: Brain Research Bulletin (2004), 64(1), 85-93
CODEN: BRBUDU; ISSN: 0361-9230

PUBLISHER: Elsevier Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

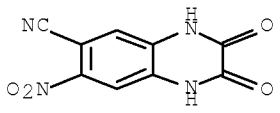
AB The involvement of NMDA and AMPA/kainate receptors in the induction of superoxide radical production in the rat brain was examined after injection of kainate, non-NMDA receptor agonist, kainate plus 6-cyano-7-nitroquinoxaline-2,3-dione (CNQX), selective AMPA/kainate receptor antagonist, or kainate plus 2-amino-5-phosphonopentanoic acid (APV), selective NMDA receptor antagonist. Competitive glutamate receptor antagonists were injected with kainate unilaterally into the CA3 region of the rat hippocampus. We investigated superoxide production and mitochondrial MnSOD activity after injection. The measurements took place at different times (5, 15 min, 2, 48 h and 7 days) in the ipsi- and contralateral hippocampus, forebrain cortex, striatum, and cerebellum homogenates. Used

glutamate antagonists APV and CNQX both expressed sufficient neuroprotection in sense of decreasing superoxide production and increasing MnSOD levels, but with differential effect in mechanisms and time dynamics. Our findings suggest that NMDA and AMPA/kainate receptors are differentially involved in superoxide production Following intrahippocampal antagonists injection they, also, interpose different neuroprotection effect on the induction of MnSOD activity in distinct brain regions affected by the injury, which are functionally connected via afferents and efferents. It suggests that MnSOD protects the cells in these regions from superoxide-induced damage and therefore may limit the retrograde and anterograde spread of neurotoxicity.

IT 115066-14-3, 6-Cyano-7-nitroquinoxaline-2,3-dione
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(intra hippocampal injection of kainate plus selective AMPA/kainate receptor antagonist 6-cyano-7-nitroquinoxaline-2,3-dione had neuroprotective effect by decreasing superoxide, increasing Mn-superoxide dismutase activity in rat brain)

RN 115066-14-3 HCAPLUS

CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:6165 HCAPLUS Full-text

DOCUMENT NUMBER: 138:83349

TITLE: Cancer cell cell-surface molecule and cancer-specific promoter identification, targeting complexes, binding partners, and treatment methods

INVENTOR(S): Poulsen, Hans Skovgaard; Pedersen, Nina; Mortensen, Shila; Sorensen, Susanne Berg; Petersen, Mikkel Wandahl; Elsner, Henrik Irgang

PATENT ASSIGNEE(S): Odin Medical A/S, Den.

SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000928	A2	20030103	WO 2002-IB3534	20020619 <--
WO 2003000928	A3	20040603		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2489420	A1	20030103	CA 2002-2489420	20020619 <--
AU 2002326094	A1	20030108	AU 2002-326094	20020619 <--
EP 1446501	A2	20040818	EP 2002-760486	20020619 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1547617	A	20041117	CN 2002-816658	20020619 <--
JP 2005500833	T	20050113	JP 2003-507309	20020619 <--
ZA 2004000535	A	20050124	ZA 2004-535	20040123 <--
IN 2004CN00145	A	20051209	IN 2004-CN145	20040123 <--
US 20050037445	A1	20050217	US 2004-482029	20040903 <--

PRIORITY APPLN. INFO.:
DK 2001-992 A 20010625 <--
US 2001-301818P P 20010702 <--
WO 2002-IB3534 W 20020619 <--

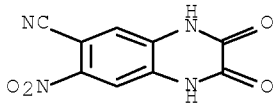
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention describes methods for identification of mols. expressed at a different level on the cell surface of cancer cells compared to non-malignant cells and methods of identification of cancer-specific promoters to be used singly or in combination for delivery and expression of therapeutic genes for treatment of cancer. The invention furthermore describes targeting complexes targeted to cell surface mols. identified by the methods of the invention. In embodiments of the invention, the targeting complexes comprise the promoters identified by the methods of the invention. In addition the invention describes methods of identifying binding partners for the cell surface mols. and the binding partners per se. Methods of treatment using the targeting complexes and uses of the targeting complexes for the preparation of a medicament are also disclosed by the invention. Furthermore, the invention describes uses of the cell surface mols. or fragments thereof for preparation of vaccines.

IT 115066-14-3, CNQX
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding partner; cancer cell cell-surface mol. and cancer-specific promoter identification, targeting complexes, binding partners, and treatment methods)

RN 115066-14-3 HCAPLUS

CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

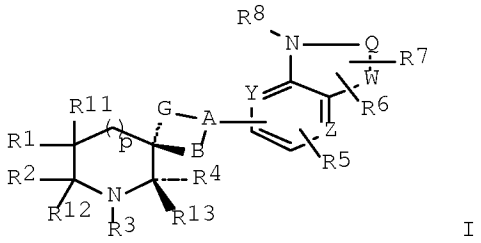
ACCESSION NUMBER: 2001:762988 HCAPLUS Full-text

DOCUMENT NUMBER: 135:331346
TITLE: Synthesis of benzoamide piperidine containing compounds as substance P antagonists
INVENTOR(S): Arnold, Eric Platt; Chappie, Thomas Allen; Huang, Jianhua; Humphrey, John Michael; Nagel, Arthur Adam; O'Neill, Brian Thomas; Sobolov-Jaynes, Susan Beth; Vincent, Lawrence Albert
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

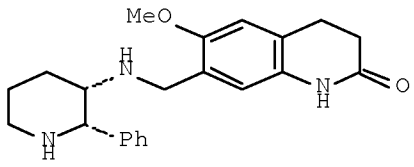
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077100	A2	20011018	WO 2001-IB629	20010406 <--
WO 2001077100	A3	20020307		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20030087925	A1	20030508	US 2001-811218	20010316 <--
US 7119207	B2	20061010		
CA 2405089	A1	20011018	CA 2001-2405089	20010406 <--
EP 1272484	A2	20030108	EP 2001-919702	20010406 <--
EP 1272484	B1	20050720		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009936	A	20030506	BR 2001-9936	20010406 <--
HU 2003000413	A2	20030628	HU 2003-413	20010406 <--
JP 2004501072	T	20040115	JP 2001-575573	20010406 <--
JP 4001486	B2	20071031		
EE 200200588	A	20040415	EE 2002-588	20010406 <--
NZ 521346	A	20040730	NZ 2001-521346	20010406 <--
AT 299875	T	20050815	AT 2001-919702	20010406 <--
ES 2244599	T3	20051216	ES 2001-919702	20010406 <--
IN 2002MN01244	A	20050304	IN 2002-MN1244	20020912 <--
BG 107135	A	20030630	BG 2002-107135	20020923 <--
ZA 2002008072	A	20031008	ZA 2002-8072	20021008 <--
NO 2002004874	A	20021118	NO 2002-4874	20021009 <--
MX 2002010029	A	20030212	MX 2002-10029	20021009 <--

PRIORITY APPLN. INFO.:
US 2000-195922P P 20000410 <--
US 2000-212922P P 20000620 <--
WO 2001-IB629 W 20010406 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:331346
GI



I



II

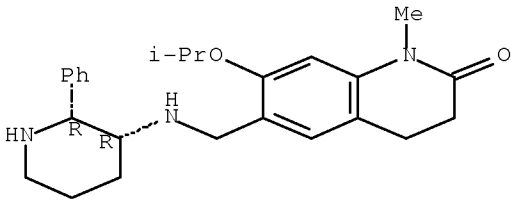
AB Title compds. I [Q = C:NH, C:CH2, C:S, C:O, SO, SO2; A = CH, CH2, C(alkyl), CH(alkyl), C(CF3), or CH(CF3) with the proviso that when B is present, A = CH, C(alkyl), or C(CF3); B = absent, CH2, or ethylene; Y, Z = N, CH, provided that both are not N; G = NH(CH2)q, S(CH2)q, O(CH2)q; q = 0-1 with the proviso that when q = 0, G = NH2, SH, OH; W = 1-3 carbon linking group, including spiro assemblies; p = 0-2; R3 = H, acyl, carboxy, Ph, heterocyclyl, alkyl, etc.; R1, R2, R11-13 = H, alkyl, etc., or R12-13 together with the carbon atoms to which they are attached form a 5- or 6-membered heterocyclic ring, etc.; R4 = Ph, pyridyl, thienyl, etc.; R5-8 = H, alkyl, S(O)1-2-alkyl, S(O)1-2-aryl, alkoxy, halo, Ph, etc.] were prepared Approx. 100 synthetic examples and over 100 precursor prepns. were provided. For instance, 4-aminophenol was acylated with 3-chloropropionyl chloride (CH2Cl2, H2O, NaHCO3, room temperature, 4 h) and the product treated with AlCl3 at 210℃ for 10 min effecting cyclization to the hydroxy quinolone intermediate. The intermediate was O-methylated (acetone, Me2SO4, K2CO3, room temperature, 16 h) and formylated in the 7 position (CH2Cl2, AlCl3, Cl2CHOMe) to give 7-formyl-6-methoxy-1H-1,2,3,4-tetrahydroquinolin- 2-one. Reductive alkylation of the quinolone with (2S,3S)-3-amino-2-phenylpiperidine (a. PhMe, 3Å mol. sieves; b. dichloroethane, NaHB(OAc)3, room temperature, 16 h) yielded II. Compds. I are NK-1 receptor antagonists, i.e., substance P receptor antagonists. At least one stereoisomer of the example compds. had a binding affinity, as measured by Ki, of at least 600 nM. I are used in the treatment and prevention of a wide variety of central nervous system disorders, inflammatory disorders, cardiovascular disorders, ophthalmic disorders, etc.

IT 368832-06-8P 368834-80-4P 368834-82-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis of benzoamide piperidine containing compds. as substance P antagonists)

RN 368832-06-8 HCAPLUS

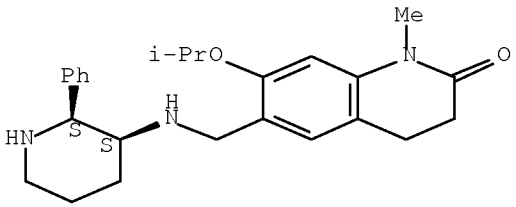
CN 2(1H)-Quinolinone, 3,4-dihydro-1-methyl-7-(1-methylethoxy)-6-[[[(2R,3R)-2-phenyl-3-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 368834-80-4 HCAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-methyl-7-(1-methylethoxy)-6-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

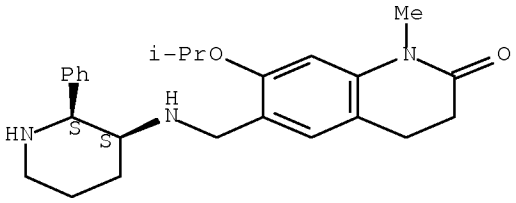
Absolute stereochemistry.



●2 HCl

RN 368834-82-6 HCAPLUS
CN 2(1H)-Quinolinone, 3,4-dihydro-1-methyl-7-(1-methylethoxy)-6-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

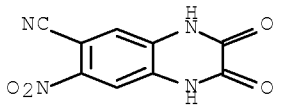
L20 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:260108 HCAPLUS Full-text
DOCUMENT NUMBER: 136:144743
TITLE: Caspase-Mediated Suppression of Glutamate (AMPA) Receptor Channel Activity in Hippocampal Neurons in Response to DNA Damage Promotes Apoptosis and Prevents Necrosis: Implications for Neurological Side Effects

of Cancer Therapy and Neurodegenerative Disorders
AUTHOR(S): Lu, Chengbiao; Fu, Weiming; Mattson, Mark P.
CORPORATE SOURCE: Laboratory of Neurosciences, National Institute on Aging, Baltimore, MD, 21224, USA
SOURCE: Neurobiology of Disease (2001), 8(2), 194-206
CODEN: NUDIEM; ISSN: 0969-9961
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB DNA damage in neurons is implicated in the pathogenesis of several neurodegenerative disorders and may also contribute to the often severe neurol. complications in cancer patients treated with chemotherapeutic agents. DNA damage can trigger apoptosis, a form of controlled cell death that involves activation of cysteine proteases called caspases. The excitatory neurotransmitter glutamate plays central roles in the activation of neurons and in processes such as learning and memory, but over activation of ionotropic glutamate receptors can induce either apoptosis or necrosis. Glutamate receptors of the AMPA (α-amino-3-hydroxy-5-methylisoxazole-4-propionate) type mediate such physiol. and pathol. processes in most neurons. We now report that DNA damage can alter glutamate receptor channel activity by a mechanism involving activation of caspases. Whole-cell patch clamp analyses revealed a marked decrease in AMPA-induced currents after exposure of neurons to camptothecin, a topoisomerase inhibitor that induces DNA damage; N-methyl-D-aspartate (NMDA)-induced currents were unaffected by camptothecin. The decrease in AMPA-induced current was accompanied by a decreased calcium response to AMPA. Pharmacol. inhibition of caspases abolished the effects of camptothecin on AMPA-induced current and calcium responses, and promoted excitotoxic necrosis. Combined treatment with glutamate receptor antagonists and a caspase inhibitor prevented camptothecin-induced neuronal death. Caspase-mediated suppression of AMPA currents may allow neurons with damaged DNA to withdraw their participation in excitatory circuits and undergo apoptosis, thereby avoiding widespread necrosis. These findings have important implications for treatment of patients with cancer and neurodegenerative disorders. (c) 2001 Academic Press.

IT 115066-14-3, CNQX
RL: PAC (Pharmacological activity); BIOL (Biological study)
(combined treatment with glutamate receptor antagonists and a caspase inhibitor prevented camptothecin-induced neuronal death)

RN 115066-14-3 HCAPLUS
CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> d his nofile

     (FILE 'REGISTRY' ENTERED AT 11:58:14 ON 11 JAN 2010)
L1             STR
L3          5172 SEA SSS FUL L1
L5             STR
L6          1693 SEA SUB=L3 SSS FUL L5

     FILE 'HCAPLUS' ENTERED AT 12:17:25 ON 11 JAN 2010
L11           18 SEA ABB=ON  PLU=ON  L6
L12            8 SEA ABB=ON  PLU=ON  L11 AND (?PHARMA? OR ?THERAP? OR ?DRUG? OR
                 ?MEDIC?)
L13            5 SEA ABB=ON  PLU=ON  L12 AND (AY=<2003 OR PY=<2003 OR PRY=<2003
                 OR PD=< JANUARY 5, 2004)
                 D STAT QUE L13
                 D IBIB ABS HITSTR L13 1-5

     FILE 'REGISTRY' ENTERED AT 12:18:05 ON 11 JAN 2010
L14         3479 SEA ABB=ON  PLU=ON  L3 NOT L6

     FILE 'HCAPLUS' ENTERED AT 12:18:44 ON 11 JAN 2010
L15          700 SEA ABB=ON  PLU=ON  L14
L16          548 SEA ABB=ON  PLU=ON  L15 AND (AY=<2003 OR PY=<2003 OR PRY=<2003
                 OR PD=< JANUARY 5, 2004)
L19            8 SEA ABB=ON  PLU=ON  L16 AND CHEMOTHER?
L20            6 SEA ABB=ON  PLU=ON  L19 NOT L12
                 D STAT QUE L20
                 D IBIB ABS HITSTR L20 1-6

=>
```